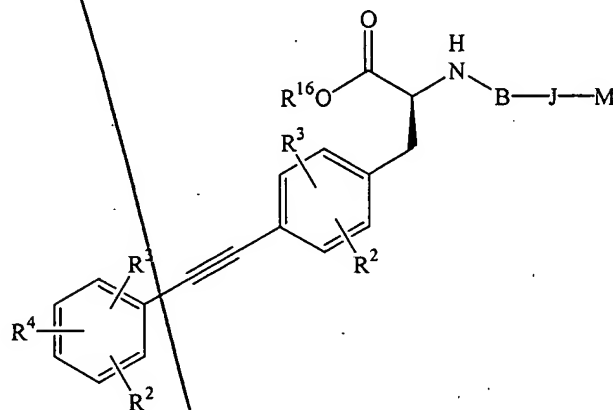
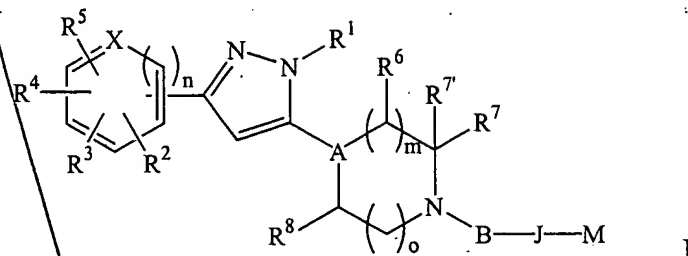


WHAT IS CLAIMED IS:

1. A compound of formula I or formula I'



where:

m is an integer selected from 0, 1, and 2;

n and o are integers independently selected from 0 and 1;

A is selected from the group consisting of N and CH;

B is selected from the group consisting of -CH₂-CH₂-, -CH₂-CH₂-CH₂-, -CH₂-CH₂-NH-,
-CH₂-O-CH₂-, -CH₂-S-CH₂-, -C(=O)-NH-, -C(=O)-CH₂-, -CH₂-C(=O)-NH-, -C(=O)-CH₂-C(=O)-,
-C(=O)-NH-CH₂-, -C(=O)-NH-, -S(=O)-, -S(=O)₂-, -S(=O)-NH-, -S(=O)₂-NH-, -S(=O)-CH₂-,
-S(=O)₂-CH₂-, -S(=O)-CH₂-NH-, -S(=O)₂-CH₂-NH-, -S(=O)₂-NH-CH₂-, -CH₂-S(=O)₂-NH-,
-C(=O)-NH-S(=O)₂-, -S(=O)₂-NH-C(=O)-, -C(=O)-CH₂-S(=O)₂-, and -S(=O)₂-CH₂-C(=O)-;

J is absent or is selected from the group consisting of -O-, -S-, -CHR¹⁵-O-, -CH₂-CHR¹⁵-O-,
-NH-, -NH-CHR¹⁵-, -NH-CHR¹⁵-C(=O)-, -C(=O)-, -CH₂-, -CHR¹⁵-CH₂-NH-, -C(=O)-CHR¹⁵-, and
-C(=O)-CHR¹⁵-NH-;

L is selected from the group consisting of -CH₂-O-, -O-CH₂-, -CH₂-CH₂-O-, -O-CH₂-CH₂-,
-CH₂-O-CH₂-, -CH₂-S-CH₂-, -C(=O)-NH-, -O-C(=O)-NH-, -CH₂-C(=O)-NH-, -C(=O)-CH₂-NH-,

-C(=O)-NH-CH₂-, -NH-C(=O)-, -NH-C(=O)-O-, -NH-CH₂-C(=O)-, -NH-C(=O)-CH₂-,
 -CH₂-NH-C(=O)-, -NH-C(=O)-NH-, -NH-S(=O)₂-NH-, -NH-S(=O)₂-, -NH-S(=O)₂-CH₂-,
 -CH₂-NH-S(=O)₂-, -S(=O)₂-NH-, -S(=O)₂-NH-CH₂-, -CH₂-S(=O)₂-NH-, -C(=O)-NH-S(=O)₂-,
 -S(=O)₂-NH-C(=O)-, -CH₂-NH-, -CH₂-CH₂-NH-, -NH-CH₂-, -NH-CH₂-CH₂-, -CH₂-NH-CH₂-, -C≡C-,
 5 -CH₂-C≡C-, -CH₂-CH₂-, -CH₂-CH₂-CH₂-, -CH₂-CH=CH-, -CH=CH-CH₂-, and -CH=CH-;

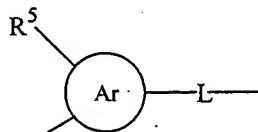
M is selected from the group consisting of R⁹ and an optionally substituted group selected from phenyl, naphthyl, C₃-C₇-cycloalkyl, and heterocyclyl, the heterocyclyl group being aliphatic, partially unsaturated, or aromatic, and containing 1 or 2 rings each containing 5-7 ring atoms of which 0-3 are hetero atoms selected from N, O and S, provided that at least one ring contains a heteroatom and where any ring carbon or sulfur may optionally be oxidized, the optional substituents being up to three groups selected from R¹, R², and R⁹;

Q is selected from the group consisting of -C(=O)OR¹⁶, -C(=O)-NH-C(=O)-CF₃,
 -C(=O)-NH-S(=O)₂-R², -C(=O)-NR¹-OH, 5-oxo-4,5-dihydro[1,2,4]oxadiazol-3-yl, and
 1H-tetrazol-5-yl;

15 X is A when n is 1 and is CH, N, O or S when n is 0;

R¹ is selected from the group consisting of hydrogen, (C₁-C₆)alkyl, halo-(C₁-C₆)alkyl, and (C₃-C₆)cycloalkyl;

R², R³ and R⁵ are individually selected from the group consisting of hydrogen, cyano, nitro, phenyl, phenoxy, benzyl, C₁-C₆alkyl, halo, halo-C₁-C₆alkyl, C₃-C₆cycloalkyl, C₁-C₆alkoxy, hydroxy, C₁-C₂alkoxy-methoxy, hydroxy-C₁-C₆alkyl, formyl, C₁-C₆alkylcarbonyl, amino, C₁-C₆alkylamino, aminocarbonyl, C₁-C₆alkylaminocarbonyl, formylamino, and C₁-C₆alkylcarbonylamino, where any alkyl or phenyl may optionally be substituted with halo or Q;



R⁴ is selected from the group consisting of R² and Q

where Ar is a homo- or hetero-aryl group having 1 or 2 rings, each ring containing 5, 6 or 7 ring atoms
 25 of which 1-3 may be heteroatoms selected from N, O and S;

R⁶ is selected from the group consisting of hydrogen, C₁-C₆alkyl, halo, halo-C₁-C₆alkyl, C₃-C₆cycloalkyl, C₁-C₆alkoxy, C₁-C₆alkoxy-C₁-C₆alkyl, hydroxy, hydroxy-C₁-C₆alkyl,

HC(=O)-C₁-C₆alkyl, carboxy, carboxy-C₁-C₆alkyl, carbonylamino-C₁-C₆alkyl, aminocarbonyl, (C₁-C₆alkyl)aminocarbonyl, di(C₁-C₆alkyl)aminocarbonyl, and aminocarbonyl-C₁-C₆alkyl; or

R⁷ is selected from the group consisting of hydrogen, C₁-C₆alkyl, halo, halo-C₁-C₆alkyl, C₃-C₆cycloalkyl, C₁-C₆alkoxy, C₁-C₆alkoxy-C₁-C₆alkyl, hydroxy, hydroxy-C₁-C₆alkyl,

5 HC(=O)-C₁-C₆alkyl, carboxy, carboxy-C₁-C₆alkyl, carbonylamino-C₁-C₆alkyl, aminocarbonyl, (C₁-C₆alkyl)aminocarbonyl, di(C₁-C₆alkyl)aminocarbonyl, and aminocarbonyl-C₁-C₆alkyl;

R⁷ is hydrogen; or

R⁷ and R⁷ together with the carbon to which they are bonded form -C(=O)-;

R⁸ is selected from the group consisting of hydrogen, hydroxy, C₁-C₆alkoxy, C₁-C₆alkyl, halo, halo-C₁-C₆alkyl, and C₃-C₆ cycloalkyl;

R⁹ is selected from the group consisting of -NR¹⁰R¹¹, -C(=NR¹²)-NR¹³, -N=CR¹⁴-NR¹⁰R¹¹, -NR¹³-CR¹⁴=NR¹², and -NR¹³-C(=NR¹²)-NR¹³;

R¹⁰, R¹¹, R¹², R¹³, and R¹⁴ are independently selected from the group consisting of hydrogen, hydroxy, hydroxy-C₁-C₆alkyl, C₁-C₆alkyl, halo-C₁-C₆alkyl, C₁-C₆alkoxy, C₁-C₆alkoxy-C₁-C₆alkyl, and C₃-C₇ cycloalkyl; or any member of the group R¹⁰, R¹¹, R¹², R¹³, and R¹⁴ together with the nitrogen to which it is attached forms a 5, 6 or 7 member heterocycle with any other member of the group, the heterocycle optionally containing one additional heteroatom selected from N, O or S;

R¹⁵ is selected from the group consisting of hydrogen, C₁-C₁₂alkyl, C₃-C₇ cycloalkyl, aminocarbonyl, C₁-C₆alkylaminocarbonyl, and di(C₁-C₆alkyl)aminocarbonyl; and

20 R¹⁶ is selected from the group consisting of hydrogen, C₁-C₆alkyl, C₃-C₁₃ cycloalkyl, C₆-C₁₀aryl, acetylamino-C₁-C₁₂alkyl, C₁-C₆alkylcarbonyloxy-C₁-C₆alkyl, and C₆-C₁₀aryl-C₀-C₆alkylcarbonyloxy-C₁-C₆alkyl,

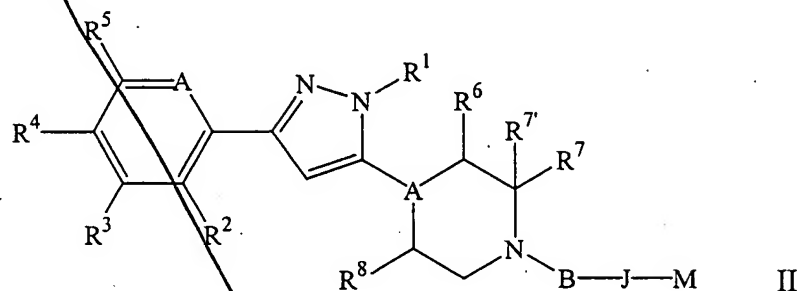
or a pharmaceutically acceptable salt thereof;

provided that the compound is not N-[2-[1-(aminoiminomethyl)-3-piperidinyl]-1-oxoethyl]-

25 4-phenylethynyl-phenylalanine methyl ester or a pharmaceutically acceptable salt thereof.

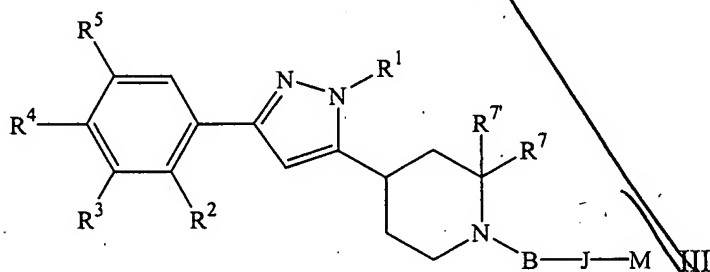
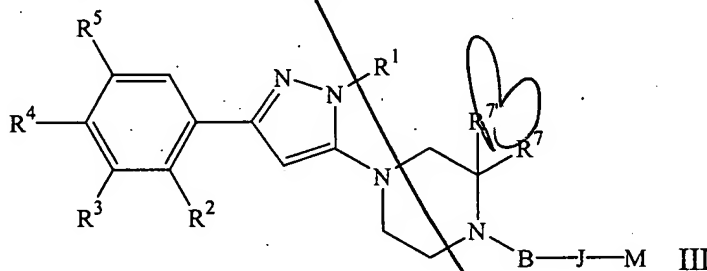
TOCT 9446

2. A compound of claim 1 that is a compound of formula II



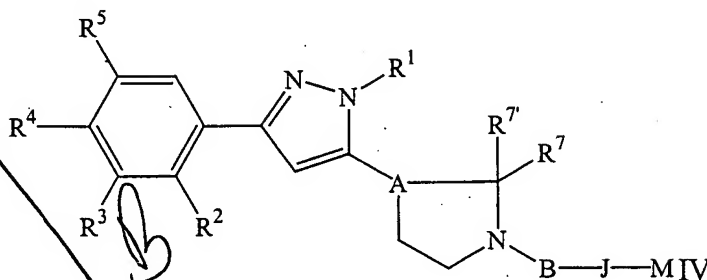
where the substituents are as defined in claim 1;
or a pharmaceutically acceptable salt thereof.

3. A compound of claim 2 that is a compound of formula III or formula III'



- 10 where the substituents are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

4. A compound of formula I that is a compound of formula IV

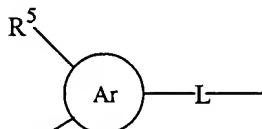


where the substituents are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

5. A compound of claim 1 where R¹ is hydrogen or lower alkyl.

6. A compound of claim 1 where R² and R³ are hydrogen, lower alkyl, cyano, or halo.

7. A compound of claim 1 where



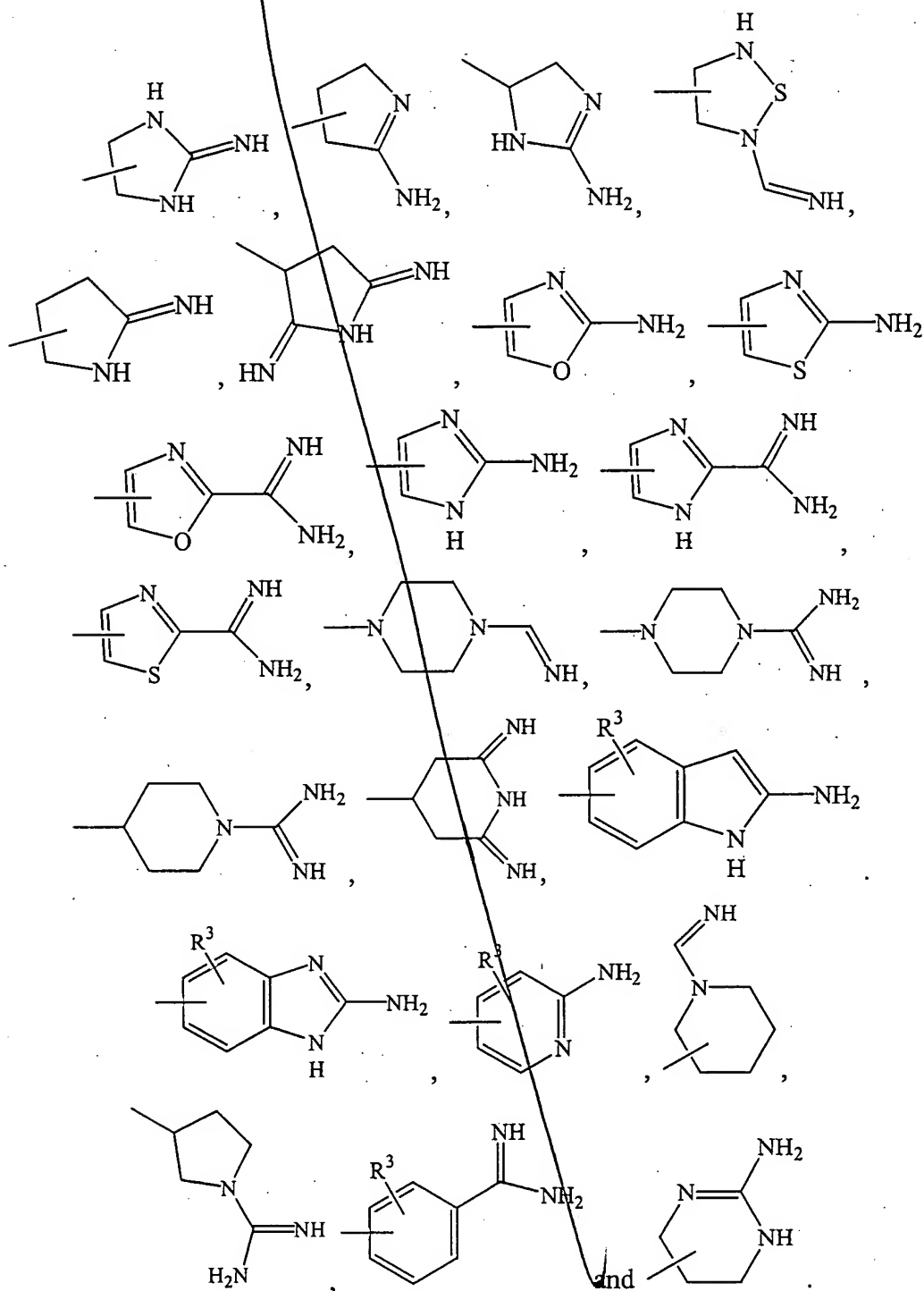
R⁴ is Q, especially where one or more of the following preferences applies: Ar is selected from the group consisting of phenyl, furyl, thienyl, oxazolyl, thiazolyl, and pyrrolyl; R⁵ is hydroxy, C₁-C₂alkoxy-methoxy and C₁-C₃-alkoxy; Q is a negatively charged species such as carboxy or a prodrug thereof or tetrazole; and L is -O-, -CH₂-O-, -O-CH₂- or -CH₂-CH₂-O-.

8. A compound of claim 1 where B is -C(=O)- or -S(=O)₂-.

9. A compound of claim 1 where J is -CH₂-, -CH₂-CH₂-, -NH-, -NH-CH₂-, -CH₂-NH-, -CH₂-NH-C(=O)-, -CH₂-NH-C(=O)-C₁-C₆alkyl- and -CH₂-NH-C(=O)-CH(C₃-C₁₂cycloalkyl)-.

10. A compound of claim 1 where B-J is selected from the group consisting of -C(=O)-CH₂-NH-C(=O)-CH(C₁-C₆alkyl)-, -C(=O)-CH₂-NH-C(=O)-CH(C₃-C₁₂ cycloalkyl)-, -C(=O)-NH-(C₂-C₆alkyl)-, -S(=O)₂-NH-(C₂-C₆alkyl)-, -C(=O)-NH-, -S(=O)₂-NH-, -C(=O)-CH₂- and -S(=O)₂-CH₂-.

11. A compound of claim 1 where M is selected from the group consisting of R⁹,



10. 12. A composition comprising a compound of claim 1 and a pharmaceutically acceptable excipient.

13. A method of treating a mammal having a disease for which the antagonism of IL-2/IL-2R binding is indicated, comprising administering to the mammal a therapeutically effective dose of a compound of claim 1 through 4.

5 14. The method of claim 13 where the disease is T-lymphocyte-induced rejection of an allograft.

15. The method of claim 14 where T-lymphocytes which express IL-2R in response to antigens of the allograft are contacted with the compound.

10 16. The method of claim 14 where the allograft is a skin allograft.

17. The method of claim 14 where the allograft is a transplanted organ.

18. The method of claim 14 where the transplanted organ is a heart.

15 19. The method of claim 13 where the disease is an autoimmune disease.

20. The method of claim 19 where the autoimmune disease is selected from the group consisting of rheumatoid arthritis, multiple sclerosis, uveitis, and psoriasis.

Attorney Docket 36632-0007

add
B3